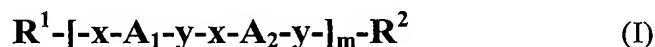


Listing of the Claims

1. (withdrawn) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an oligomer of Formula I:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , $-\text{N}(\text{R}^8)\text{N}(\text{R}^8)-$, O, or S; y is C=O, C=S, O=S=O, or $-\text{C}(=\text{O})\text{C}(=\text{O})-$; and R^8 is hydrogen or alkyl;

A_1 and A_2 are independently optionally substituted arylene or optionally substituted heteroarylene, wherein:

- (i) A_1 and A_2 are independently optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- (ii) A_1 is optionally substituted arylene or optionally substituted heteroarylene and A_2 is a C_3 to C_8 cycloalkyl or $-(\text{CH}_2)_q-$, wherein q is 1 to 7, wherein A_1 and A_2 are independently optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- (iii) A_2 is optionally substituted arylene or optionally substituted heteroarylene, and A_1 is a C_3 to C_8 cycloalkyl or $-(\text{CH}_2)_q-$, wherein q is 1 to 7, wherein

A₁ and A₂ are independently optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s);

R¹ is

- (i) hydrogen, a polar (PL) group, or a non-polar (NPL) group, and R² is -x-A₁-y-R¹¹, wherein R¹¹ is hydrogen, a polar (PL) group, or a non-polar (NPL) group; or
- (ii) R¹ and R² are independently hydrogen, a polar (PL) group, or a non-polar (NPL) group; or
- (iii) R¹ and R² together are a single bond;

NPL is a nonpolar group independently selected from the group consisting of -B(OR⁴)₂ and -(NR^{3'})_{q1}NPL-U^{NPL}-(CH₂)_pNPL-(NR^{3''})_{q2}NPL-R^{4'}, wherein:

R³, R^{3'}, and R^{3''} are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R⁴ and R^{4'} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR³, -C(=O)-, -C(=O)-N=N-NR³-, -C(=O)-NR³-N=N-, -N=N-NR³-, -C(=N-N(R³)₂)-, -C(=NR³)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-, -R³O-, -R³S-, -S-C=N- and -C(=O)-NR³-O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the $-(CH_2)_{pNPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

$pNPL$ is 0 to 8;

$q1NPL$ and $q2NPL$ are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and $-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5'})_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, $S(=O)$, $S(=O)_2$, NR^5 , $-C(=O)-$, $-C(=O)-N=N-NR^{5-}$, $-C(=O)-NR^5-N=N-$, $-N=N-NR^{5-}$, $-C(=N-N(R^5)_2)-$, $-C(=NR^5)-$, $-C(=O)O-$, $-C(=O)S-$, $-C(=S)-$, $-O-P(=O)_2O-$, $-R^5O-$, $-R^5S-$, $-S-C=N-$ and $-C(=O)-NR^5-O-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy, alkylthio, alkylamino, dialkylamino, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxy, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower acylamino, or benzyloxycarbonyl;

the $-(CH_2)_{pPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

pPL is 0 to 8;

q1PL and q2PL are independently 0, 1 or 2; and

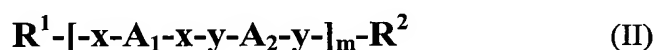
m is 1 to about 20;

and a pharmaceutically acceptable carrier or diluent.

2-14. (cancelled)

15. (withdrawn) A method of providing an antidote to low molecular weight heparin overdose in an animal, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an oligomer of claim 1.

16. (previously presented) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an amphiphilic oligomer of Formula II:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , $-\text{N}(\text{R}^8)\text{N}(\text{R}^8)-$, or $-\text{C}(\text{R}^7\text{R}^{7'})\text{NR}^8-$, and y is $\text{C}=\text{O}$;

wherein R^8 is hydrogen or alkyl; R^7 and $\text{R}^{7'}$ are independently hydrogen or alkyl, or R^7 and $\text{R}^{7'}$ together are $-(\text{CH}_2)_p-$, wherein p is 4 to 8;

A_1 and A_2 are independently optionally substituted *o*-, *m*-, or *p*-phenylene or one of A_1 and A_2 is optionally substituted *o*-, *m*-, or *p*-phenylene and the other of A_1 and A_2 is optionally substituted heteroarylene, wherein A_1 and A_2 are independently optionally substituted with one or more polar (PL) groups, one or more non-polar

(NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

R¹ is

(i) hydrogen, a polar group (PL), or a non-polar group (NPL), and R² is

-x-A₁-x-R¹, wherein A₁ is as defined above and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups; or

(ii) hydrogen, a polar group (PL), or a non-polar group (NPL), and R² is -x-A'-x-R¹, wherein A' is arylene or heteroarylene and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

(iii) -y-A₂-y-R², and R² is hydrogen, a polar group (PL), or a non-polar group (NPL); or

(iv) -y-A' and R² is -x-A', wherein A' is aryl or heteroaryl and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups; or

(v) R¹ and R² are independently a polar group (PL) or a non-polar group (NPL);
or

(vi) R¹ and R² together form a single bond;

NPL is a nonpolar group independently selected from the group consisting of

-B(OR⁴)₂ and -(NR^{3'})_{q1}NPL-U^{NPL}-(CH₂)_pNPL-(NR^{3''})_{q2}NPL-R^{4'}, wherein:

R^3 , $R^{3'}$, and $R^{3''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R^4 and $R^{4'}$ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR^3 , -C(=O)-, -C(=O)-N=N- NR^3 -, -C(=O)- NR^3 -N=N-, -N=N- NR^3 -, -C(=N-N(R^3)₂)-, -C(=N R^3)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-, - R^3 O-, - R^3 S-, -S-C=N- and -C(=O)- NR^3 -O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the -(CH₂)_{pNPL}- alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

pNPL is 0 to 8;

q1NPL and q2NPL are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and -(NR^{5'})_{q1PL}-U^{PL}-(CH₂)_{pPL}-(NR^{5'})_{q2PL}-V, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR^5 , -C(=O)-, -C(=O)-N=N- NR^5 -, -C(=O)- NR^5 -N=N-, -N=N- NR^5 -, -C(=N-N(R^5)₂)-, -C(=N R^5)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-, - R^5 O-, - R^5 S-, -S-C=N- and -C(=O)- NR^5 -O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy, alkylthio, alkylamino, dialkylamino, $\text{-NH(CH}_2\text{)}_p\text{NH}_2$ wherein p is 1 to 4, $\text{-N(CH}_2\text{CH}_2\text{NH}_2\text{)}_2$, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxy, $\text{-NH(CH}_2\text{)}_p\text{NH}_2$ wherein p is 1 to 4, $\text{-N(CH}_2\text{CH}_2\text{NH}_2\text{)}_2$, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower acylamino, or benzyloxycarbonyl;

the $\text{-(CH}_2\text{)}_{p\text{PL}}$ - alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

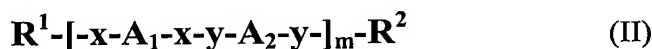
pPL is 0 to 8;

q1PL and q2PL are independently 0, 1 or 2; and

m is 1 to about 20;

and a pharmaceutically acceptable carrier or diluent.

17. (previously presented) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an amphiphilic oligomer of Formula II:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , y is C=O , and R^8 is hydrogen or alkyl;

A_1 and A_2 are independently optionally substituted *o*-, *m*-, or *p*-phenylene or pyrimidinylene, wherein A_1 and A_2 are independently optionally substituted with

one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

R^1 is hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is $-x-A_1-x-R^1$, wherein A_1 is as defined above and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

NPL is $-(NR^{3'})_{q1NPL}-U^{NPL}-(CH_2)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}$, wherein:

R^3 , $R^{3'}$, and $R^{3''}$ are independently selected from the group consisting of hydrogen, C_1 - C_6 alkyl, and C_1 - C_6 alkoxy;

$R^{4'}$ is selected from the group consisting of hydrogen, C_1 - C_{10} alkyl, C_3 - C_{18} branched alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_8 cycloalkyl, C_6 - C_{10} aryl, and heteroaryl, any of which is optionally substituted with one or more C_1 - C_6 alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, NH, $-C(=O)-$, $-C(=O)-N=N-NH-$, $-C(=O)-NH-N=N-$, $-N=N-NH-$, $-C(=N-N(R^3)_2)-$, $-C(=NR^3)-$, $-C(=O)O-$, $-R^3S-$ and $-R^3O-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the $-(CH_2)_{pNPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups;

$pNPL$ is 0 to 6;

$q1NPL$ and $q2NPL$ are 0;

PL is $-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5'})_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, C_1 - C_6 alkyl, and C_1 - C_6 alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, NH, $-C(=O)-$, $-C(=O)-N=N-NH-$, $-C(=O)-NH-N=N-$, $-N=N-NH-$, $-C(=N-N(R^5)_2)-$, $-C(=NR^5)-$, $-C(=O)O-$, $-R^5O-$, and $-R^5S-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, C_1 - C_6 alkoxy, C_1 - C_6 alkylthio, C_1 - C_6 alkylamino, C_1 - C_6 dialkylamino, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, guanidino, guanyl, semicarbazone, C_6 - C_{10} aryl, heterocycle, and heteroaryl;

the $-(CH_2)_{pPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups;

pPL is 0 to 6;

q1PL and q2PL are 0;

m is 1 to 10,

and a pharmaceutically acceptable carrier or diluent.

18. (previously presented) The method of claim 16, wherein x is NR^8 , y is $C=O$, and R^8 is hydrogen or alkyl.

19. (original) The method of claim 16, wherein x is $-N(R^8)N(R^8)-$, y is $C=O$, and R^8 is hydrogen.

20. (original) The method of claim 16, wherein A₁ and A₂ are independently optionally substituted *o*-, *m*-, or *p*-phenylene.

21. (original) The method of 20, wherein A₁ and A₂ are independently optionally substituted *m*-phenylene.

22. (original) The method of claim 16, wherein one of A₁ and A₂ is *o*-, *m*-, or *p*-phenylene, and the other of A₁ and A₂ is heteroarylene.

23. (original) The method of claim 22, wherein one of A₁ and A₂ is *m*-phenylene, and the other of A₁ and A₂ is pyrimidinylene.

24. (previously presented) The method of claim 22, wherein one of A₁ and A₂ is substituted with one or more polar (PL) groups and one or more nonpolar (NPL) groups and the other of A₁ and A₂ is unsubstituted.

25. (previously presented) The method of claim 16, wherein A₁ and A₂ are optionally substituted *m*-phenylene, and one of A₁ and A₂ is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A₁ and A₂ is unsubstituted.

26. (previously presented) The method of claim 16, wherein R¹ is hydrogen, a polar group (PL), or a non-polar group (NPL), and R² is -x-A₁-x-R¹, wherein A₁ is as defined in claim 16 and is substituted with one or more polar (PL) groups, one or more non-polar

(NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups.

27. (previously presented) The method of claim 26, wherein R^1 is a polar (PL) group and R^2 is $-x-A_1-x-R^1$, where A_1 is substituted with one or two polar (PL) groups and one non-polar (NPL) group.

28. (original) The method of claim 16, wherein:

NPL is $-(NR^{3'})_{q1NPL}-U^{NPL}-(CH_2)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}$, and $R^3, R^{3'}, R^{3''}, R^{4'}, U^{NPL}, pNPL, q1NPL$ and $q2NPL$ are as defined in claim 16.

29. (original) The method of claim 28, wherein $R^3, R^{3'}$, and $R^{3''}$ are independently hydrogen, C_1-C_6 alkyl, or C_1-C_6 alkoxy.

30. (original) The method of claim 29, wherein $R^3, R^{3'}$, and $R^{3''}$ are hydrogen.

31. (original) The method of claim 28, wherein $R^{4'}$ is C_1-C_{10} alkyl, C_3-C_{18} branched alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, or C_6-C_{10} aryl.

32. (original) The method of claim 31, wherein $R^{4'}$ is phenyl, methyl, ethyl, *n*-propyl, isopropyl, *n*-butyl, *tert*-butyl, or *n*-pentyl.

33. (original) The method of claim 28, wherein U^{NPL} is O, S, NH, $-C(=O)-$, $-C(=O)-N=N-NH-$, $-C(=O)-NH-N=N-$, $-N=N-NH-$, $-C(=N-N(R^3)_2)-$, $-C(=NR^3)-$, $-C(=O)O-$, $-R^3S-$ or $-R^3O-$.
34. (original) The method of claim 33, wherein U^{NPL} is $-C(=O)-$.
35. (original) The method of claim 33, wherein U^{NPL} is absent.
36. (original) The method of claim 16, wherein NPL is *n*-propyl, isopropyl, *n*-butyl, or *tert*-butyl.
37. (original) The method of claim 28, wherein:
 $pNPL$ is 0 to 2; and $q1NPL$ and $q2NPL$ are independently 0 or 1.
38. (original) The method of claim 28, wherein the $-(CH_2)_{pNPL}-$ alkylene chain in NPL is substituted with one or more amino groups.
39. (original) The method of claim 16, wherein:
PL is $-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5''})_{q2PL}-V$, and R^5 , $R^{5'}$, $R^{5''}$, V, U^{PL} , pPL, q1PL and q2PL are as defined in claim 16.
40. (original) The method of claim 39, wherein R^5 , $R^{5'}$, and $R^{5''}$ are independently hydrogen, C_1-C_6 alkyl, or C_1-C_6 alkoxy.

41. (original) The method of claim 39, wherein U^{PL} is O, S, NH, $-C(=O)-$,
 $-C(=O)-N=N-NH-$, $-C(=O)-NH-N=N-$, $-N=N-NH-$, $-C(=N-N(R^5)_2)-$, $-C(=NR^5)-$,
 $-C(=O)O-$, $-R^5S-$ or $-R^5O-$.
42. (original) The method of claim 41, wherein U^{PL} is O, S, $-C(=O)-$, or is absent.
43. (original) The method of claim 39, wherein V is amino, C_1-C_6 alkylamino,
 $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, or
guanidino.
44. (original) The method of claim 39, wherein pPL is 2 to 4, and q1PL and q2PL are 0.
45. (original) The method of claim 39, wherein the $-(CH_2)_{pPL}-$ alkylene chain in PL is
substituted with one or more amino groups.
46. (original) The method of claim 16, wherein m is 1 to about 5.
47. (original) The method of claim 16, wherein m is 1, 2 or 3.
48. (previously presented) The method of claim 16, wherein:
x is NR^8 , y is $C=O$, and R^8 is hydrogen;
 A_1 and A_2 are independently optionally substituted *m*-phenylene, wherein
(i) one of A_1 and A_2 is substituted with one polar (PL) group and one nonpolar
(NPL) group and the other of A_1 and A_2 is unsubstituted; or

(ii) one of A₁ and A₂ is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A₁ and A₂ is substituted with one or two polar (PL) groups;

R¹ is hydrogen or a polar group (PL), and R² is -x-A₁-x-R¹, wherein A₁ is as defined above and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

NPL is -(NR^{3'})_{q1NPL}-U^{NPL}-(CH₂)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}, wherein:

R³, R^{3'}, and R^{3''} are independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

R^{4'} is selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₃-C₁₈ branched alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₈ cycloalkyl, C₆-C₁₀ aryl, and heteroaryl, any of which is optionally substituted with one or more C₁-C₆ alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, NH, -C(=O)-, -R³S- and -R³O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the -(CH₂)_{pNPL}- alkylene chain is optionally substituted with one or more amino groups;

pNPL is 0 to 6;

q1NPL and q2NPL are 0;

PL is -(NR^{5'})_{q1PL}-U^{PL}-(CH₂)_{pPL}-(NR^{5''})_{q2PL}-V, wherein:

R⁵, R^{5'}, and R^{5''} are independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, NH, $-C(=O)-$, $-R^5O-$,

and $-R^5S-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of amino, hydroxy, C_1-C_6 alkylamino, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, and guanidino;

the $-(CH_2)_{pPL}-$ alkylene chain is optionally substituted with one or more amino groups;

pPL is 0 to 6;

q1PL and q2PL are 0; and

m is 1, 2 or 3.

49. (withdrawn) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an amphiphilic oligomer of Formula IIa:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , or $-N(R^8)N(R^8)-$; and y is $C=O$; wherein R^8 is hydrogen or alkyl;

A_1 and A_2 are independently optionally substituted *o*-, *m*-, or *p*-phenylene or one of A_1 and A_2 is optionally substituted *o*-, *m*-, or *p*-phenylene and the other of A_1 and A_2 is optionally substituted heteroarylene, wherein A_1 and A_2 are independently optionally substituted with one or more polar (PL) groups, one or more non-polar

(NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

R^1 is a polar group (PL) or a non-polar group (NPL); and R^2 is R^1 ;

NPL is a nonpolar group independently selected from the group consisting of

$-B(OR^4)_2$ and $-(NR^{3'})_{q1NPL}-U^{NPL}-(CH_2)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}$, wherein:

R^3 , $R^{3'}$, and $R^{3''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R^4 and $R^{4'}$ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, $S(=O)$, $S(=O)_2$, NR^3 , $-C(=O)-$, $-C(=O)-N=N-NR^3-$, $-C(=O)-NR^3-N=N-$, $-N=N-NR^3-$, $-C(=N-N(R^3)_2)-$, $-C(=NR^3)-$, $-C(=O)O-$, $-C(=O)S-$, $-C(=S)-$, $-O-P(=O)_2O-$, $-R^3O-$, $-R^3S-$, $-S-C=N-$ and $-C(=O)-NR^3-O-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the $-(CH_2)_{pNPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

$pNPL$ is 0 to 8;

$q1NPL$ and $q2NPL$ are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and

$-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5''})_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, $S(=O)$, $S(=O)_2$, NR^5 ,

$-C(=O)-$, $-C(=O)-N=N-NR^5-$, $-C(=O)-NR^5-N=N-$, $-N=N-NR^5-$,
 $-C(=N-N(R^5)_2)-$, $-C(=NR^5)-$, $-C(=O)O-$, $-C(=O)S-$, $-C(=S)-$, $-O-P(=O)_2O-$,
 $-R^5O-$, $-R^5S-$, $-S-C=N-$ and $-C(=O)-NR^5-O-$, wherein groups with two
chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy,
alkylthio, alkylamino, dialkylamino, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4,
 $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, guanidino, guanyl,
semicarbazone, aryl, heterocycle and heteroaryl, any of which is
optionally substituted with one or more of amino, halo, cyano, nitro,
hydroxy, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, amidino,
guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower
acylamino, or benzyloxycarbonyl;

the $-(CH_2)_{pPL}-$ alkylene chain is optionally substituted with one or more amino or
hydroxy groups, or is unsaturated;

pPL is 0 to 8; and

q1PL and q2PL are independently 0, 1 or 2;

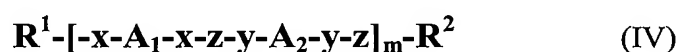
and a pharmaceutically acceptable carrier or diluent.

50-53. (cancelled)

54. (withdrawn) A method of providing an antidote to low molecular weight
heparin overdose in an animal, said method comprising administering to the animal an

effective amount of a pharmaceutical composition comprising an oligomer of claim 16 or claim 49.

55. (withdrawn) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an oligomer of Formula IV:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , $-\text{NR}^8\text{NR}^8-$, $\text{C}=\text{O}$, or O ; y is NR^8 , $-\text{NR}^8\text{NR}^8-$, $\text{C}=\text{O}$, S , or O ; and R^8 is hydrogen or alkyl;

z is $\text{C}=\text{O}$, $\text{C}=\text{S}$, $\text{O}=\text{S}=\text{O}$, $-\text{NR}^8\text{NR}^8-$, or $-\text{C}(=\text{O})\text{C}(=\text{O})-$;

A_1 and A_2 are independently optionally substituted arylene or optionally substituted heteroarylene, wherein A_1 and A_2 are independently optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s);

R^1 is

(i) hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is

$-\text{x}-\text{A}_1-\text{x}-\text{R}^1$, wherein A_1 is as defined above and is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or

- (ii) hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is $-x-A_1-x-z-y-A_2-y-R^1$, wherein A_1 and A_2 are as defined above, and each of which is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- (iii) hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is $-x-A'-x-R^1$, wherein A' is aryl or heteroaryl and is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- (iv) hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is $-x-A_1-x-z-y-A'-y-R^1$, wherein A_1 is as defined above, A' is aryl or heteroaryl, and each of A_1 and A' is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- or
- (v) $-z-y-A'$ and R^2 is hydrogen, a polar group (PL), or a non-polar group (NPL), wherein A' is aryl or heteroaryl and is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or
- (vi) $-z-y-A'$, and R^2 is $-x-A''$, wherein A' and A'' are independently aryl or heteroaryl, and each of A' and A'' is optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a

combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s); or

(vii) R^1 and R^2 are independently a polar group (PL) or a non-polar group (NPL);
or

(viii) R^1 and R^2 together form a single bond;

NPL is a nonpolar group independently selected from the group consisting of

$-B(OR^4)_2$ and $-(NR^{3'})_{q1NPL}-U^{NPL}-(CH_2)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}$, wherein:

R^3 , $R^{3'}$, and $R^{3''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R^4 and $R^{4'}$ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, $S(=O)$, $S(=O)_2$, NR^3 , $-C(=O)-$, $-C(=O)-N=N-NR^3-$, $-C(=O)-NR^3-N=N-$, $-N=N-NR^3-$, $-C(=N-N(R^3)_2)-$, $-C(=NR^3)-$, $-C(=O)O-$, $-C(=O)S-$, $-C(=S)-$, $-O-P(=O)_2O-$, $-R^3O-$, $-R^3S-$, $-S-C=N-$ and $-C(=O)-NR^3-O-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the $-(CH_2)_{pNPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

$pNPL$ is 0 to 8;

$q1NPL$ and $q2NPL$ are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and

$-(NR^5)_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^5)_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR^5 , -C(=O)-, -C(=O)-N=N- NR^5 -, -C(=O)- NR^5 -N=N-, -N=N- NR^5 -, -C(=N-N(R^5)₂)-, -C(=N R^5)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-, - R^5 O-, - R^5 S-, -S-C=N- and -C(=O)- NR^5 -O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy, alkylthio, alkylamino, dialkylamino, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxy, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower acylamino, or benzyloxycarbonyl;

the -(CH₂)_{pPL}- alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

pPL is 0 to 8;

q1PL and q2PL are independently 0, 1 or 2; and

m is 1 to about 20;

and a pharmaceutically acceptable carrier or diluent.

56. (withdrawn) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a

pharmaceutical composition comprising an oligomer of Formula IVa, Formula IVb, or Formula IVc:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , $-\text{NR}^8\text{NR}^8-$, $\text{C}=\text{O}$, or O ; y is NR^8 , $-\text{NR}^8\text{NR}^8-$, $\text{C}=\text{O}$, S , or O ; and R^8 is hydrogen or alkyl;

z is $\text{C}=\text{O}$, $\text{C}=\text{S}$, $\text{O}=\text{S}=\text{O}$, $-\text{NR}^8\text{NR}^8-$, or $-\text{C}(=\text{O})\text{C}(=\text{O})-$;

A_1 and A_2 are independently optionally substituted arylene or optionally substituted heteroarylene, wherein A_1 and A_2 are independently optionally substituted with one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s);

R^1 is hydrogen, a polar group (PL), or a non-polar group (NPL), and R^2 is R^1 ;

NPL is a nonpolar group independently selected from the group consisting of

$-\text{B}(\text{OR}^4)_2$ and $-(\text{NR}^{3'})_{q1}\text{NPL}-\text{U}^{\text{NPL}}-(\text{CH}_2)_{p\text{NPL}}-(\text{NR}^{3''})_{q2\text{NPL}}-\text{R}^{4'}$, wherein:

R^3 , $\text{R}^{3'}$, and $\text{R}^{3''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R^4 and $\text{R}^{4'}$ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR³,

-C(=O)-, -C(=O)-N=N-NR³-, -C(=O)-NR³-N=N-, -N=N-NR³-,
 -C(=N-N(R³)₂)-, -C(=NR³)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-,
 -R³O-, -R³S-, -S-C=N- and -C(=O)-NR³-O-, wherein groups with two
 chemically nonequivalent termini can adopt both possible orientations;

the -(CH₂)_{pNPL}- alkylene chain is optionally substituted with one or more amino
 or hydroxy groups, or is unsaturated;

pNPL is 0 to 8;

q1NPL and q2NPL are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl,
 methoxyethoxymethyl, polyoxyethylene, and

-(NR^{5'})_{q1PL}-U^{PL}-(CH₂)_{pPL}-(NR^{5'})_{q2PL}-V, wherein:

R⁵, R^{5'}, and R^{5''} are independently selected from the group consisting of
 hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR⁵,
 -C(=O)-, -C(=O)-N=N-NR⁵-, -C(=O)-NR⁵-N=N-, -N=N-NR⁵-,
 -C(=N-N(R⁵)₂)-, -C(=NR⁵)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-,
 -R⁵O-, -R⁵S-, -S-C=N- and -C(=O)-NR⁵-O-, wherein groups with two
 chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy,
 alkylthio, alkylamino, dialkylamino, -NH(CH₂)_pNH₂ wherein p is 1 to 4,
 -N(CH₂CH₂NH₂)₂, diazamino, amidino, guanidino, guanyl,
 semicarbazone, aryl, heterocycle and heteroaryl, any of which is
 optionally substituted with one or more of amino, halo, cyano, nitro,

hydroxy, $-\text{NH}(\text{CH}_2)_p\text{NH}_2$ wherein p is 1 to 4, $-\text{N}(\text{CH}_2\text{CH}_2\text{NH}_2)_2$, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower acylamino, or benzyloxycarbonyl;

the $-(\text{CH}_2)_{p\text{PL}}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

$p\text{PL}$ is 0 to 8;

$q1\text{PL}$ and $q2\text{PL}$ are independently 0, 1 or 2; and

a pharmaceutically acceptable carrier or diluent.

57-61. (cancelled)

62. (withdrawn) A method of providing an antidote to low molecular weight heparin overdose in an animal, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an oligomer of claim 55 or claim 56.

63. (withdrawn) An oligomer of Formula IIa:



or an acceptable salt or solvate thereof,

wherein:

x is NR^8 , O, S, or $-\text{N}(\text{R}^8)\text{N}(\text{R}^8)-$; and y is C=O, C=S, or O=S=O; wherein R^8 is hydrogen or alkyl;

A_1 and A_2 are independently optionally substituted arylene or optionally substituted heteroarylene, wherein A_1 and A_2 are independently optionally substituted with

one or more polar (PL) group(s), one or more non-polar (NPL) group(s), or a combination of one or more polar (PL) group(s) and one or more non-polar (NPL) group(s);

R^1 is a polar group (PL) or a non-polar group (NPL); and R^2 is R^1 ;

NPL is a nonpolar group independently selected from the group consisting of

$-B(OR^4)_2$ and $-(NR^{3'})_{q1NPL}-U^{NPL}-(CH_2)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}$, wherein:

R^3 , $R^{3'}$, and $R^{3''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

R^4 and $R^{4'}$ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, $S(=O)$, $S(=O)_2$, NR^3 , $-C(=O)-$, $-C(=O)-N=N-NR^{3-}$, $-C(=O)-NR^3-N=N-$, $-N=N-NR^{3-}$, $-C(=N-N(R^3)_2)-$, $-C(=NR^3)-$, $-C(=O)O-$, $-C(=O)S-$, $-C(=S)-$, $-O-P(=O)_2O-$, $-R^3O-$, $-R^3S-$, $-S-C=N-$ and $-C(=O)-NR^3-O-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the $-(CH_2)_{pNPL}-$ alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

$pNPL$ is 0 to 8;

$q1NPL$ and $q2NPL$ are independently 0, 1 or 2;

PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and

$-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5'})_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, alkyl, and alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR^5 , -C(=O)-, -C(=O)-N=N- NR^5 -, -C(=O)- NR^5 -N=N-, -N=N- NR^5 -, -C(=N-N(R^5)₂)-, -C(=N R^5)-, -C(=O)O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂O-, - R^5 O-, - R^5 S-, -S-C=N- and -C(=O)- NR^5 -O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy, alkylthio, alkylamino, dialkylamino, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxy, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkylthio, lower acylamino, or benzyloxycarbonyl;

the -(CH₂)_{pPL}- alkylene chain is optionally substituted with one or more amino or hydroxy groups, or is unsaturated;

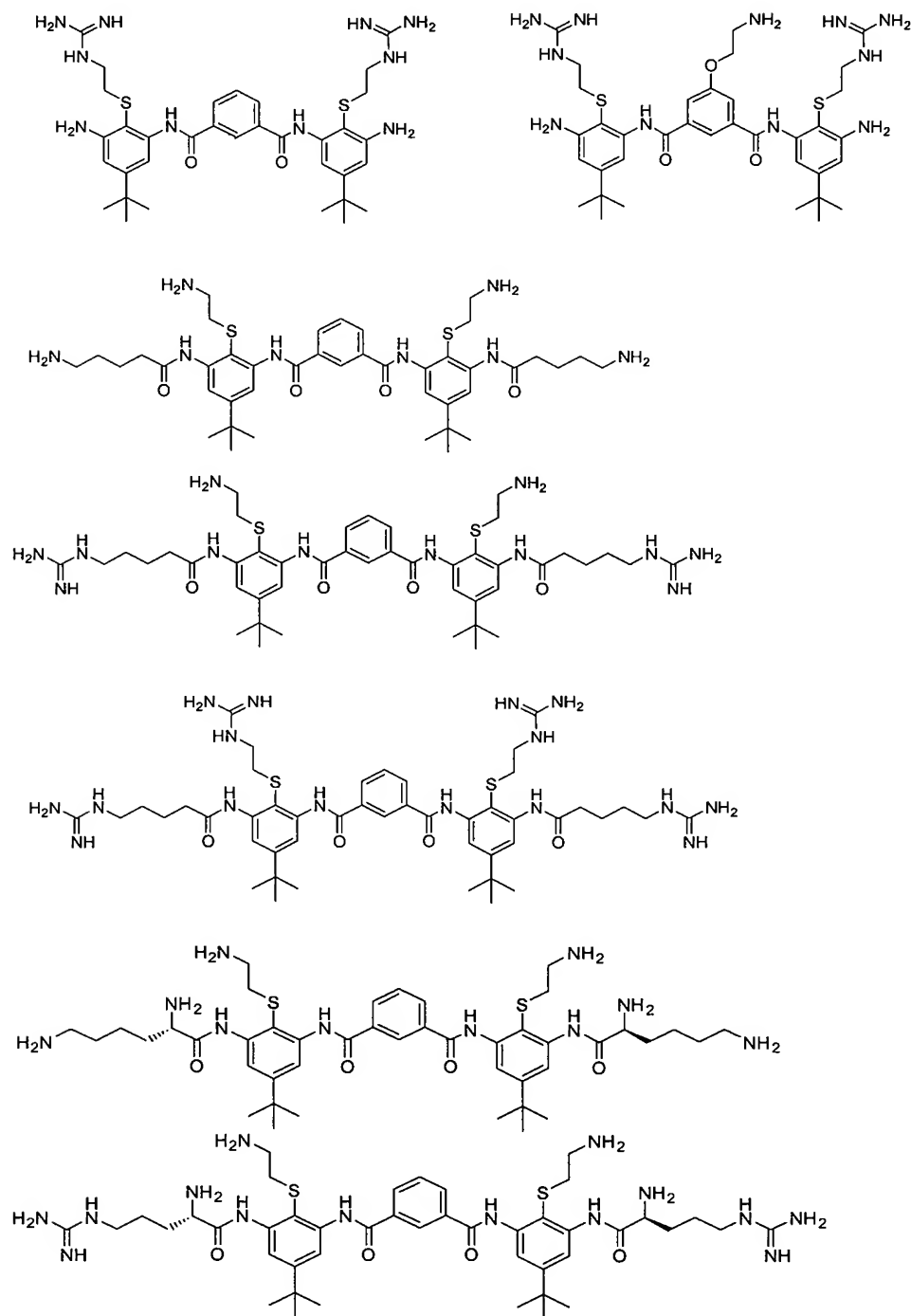
pPL is 0 to 8; and

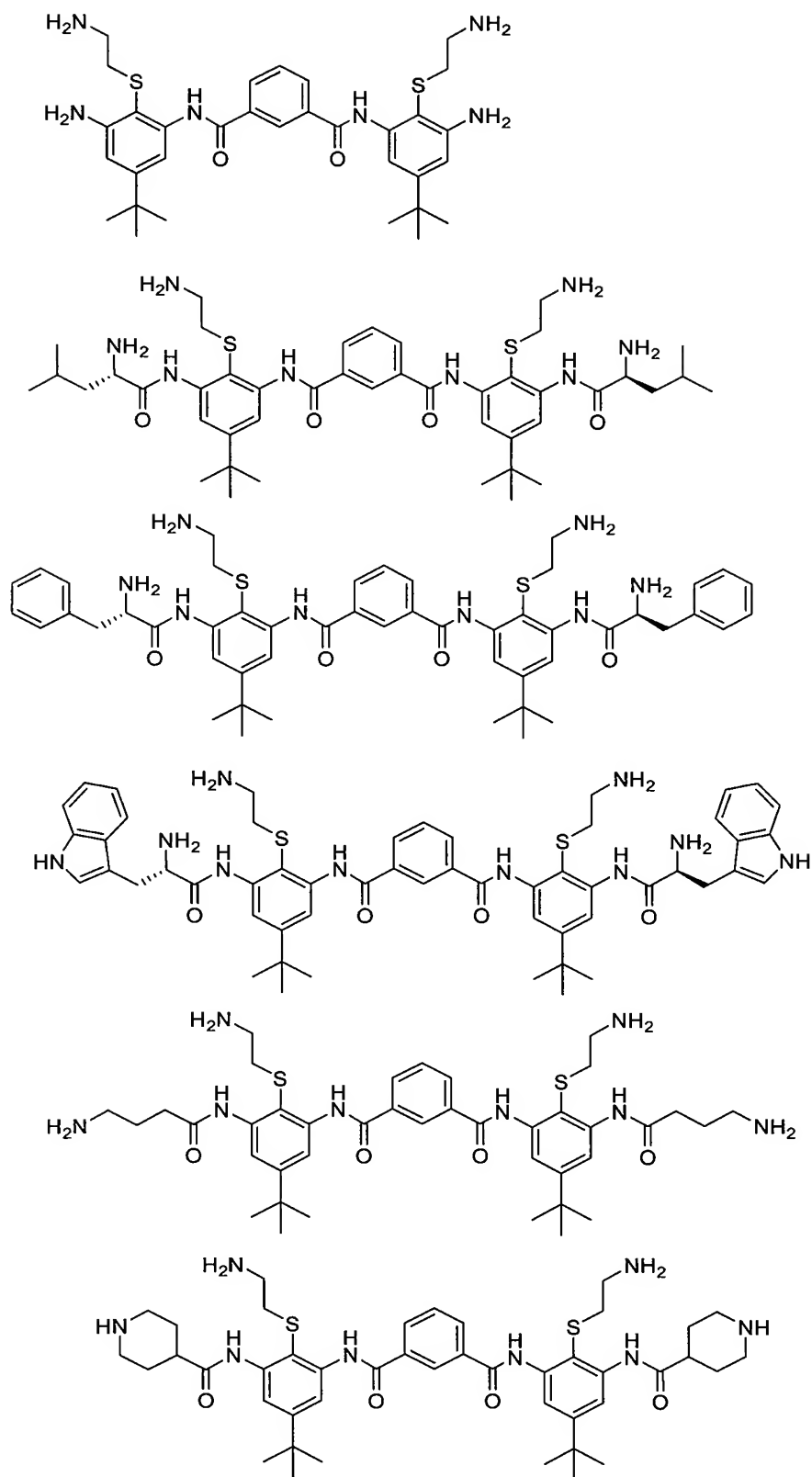
q1PL and q2PL are independently 0, 1 or 2.

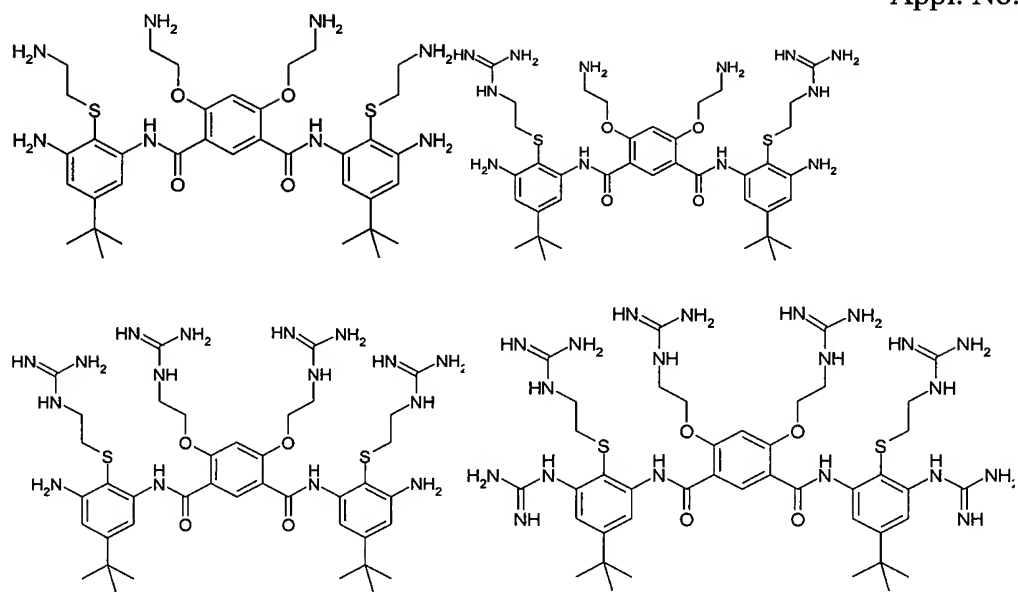
64. (cancelled)

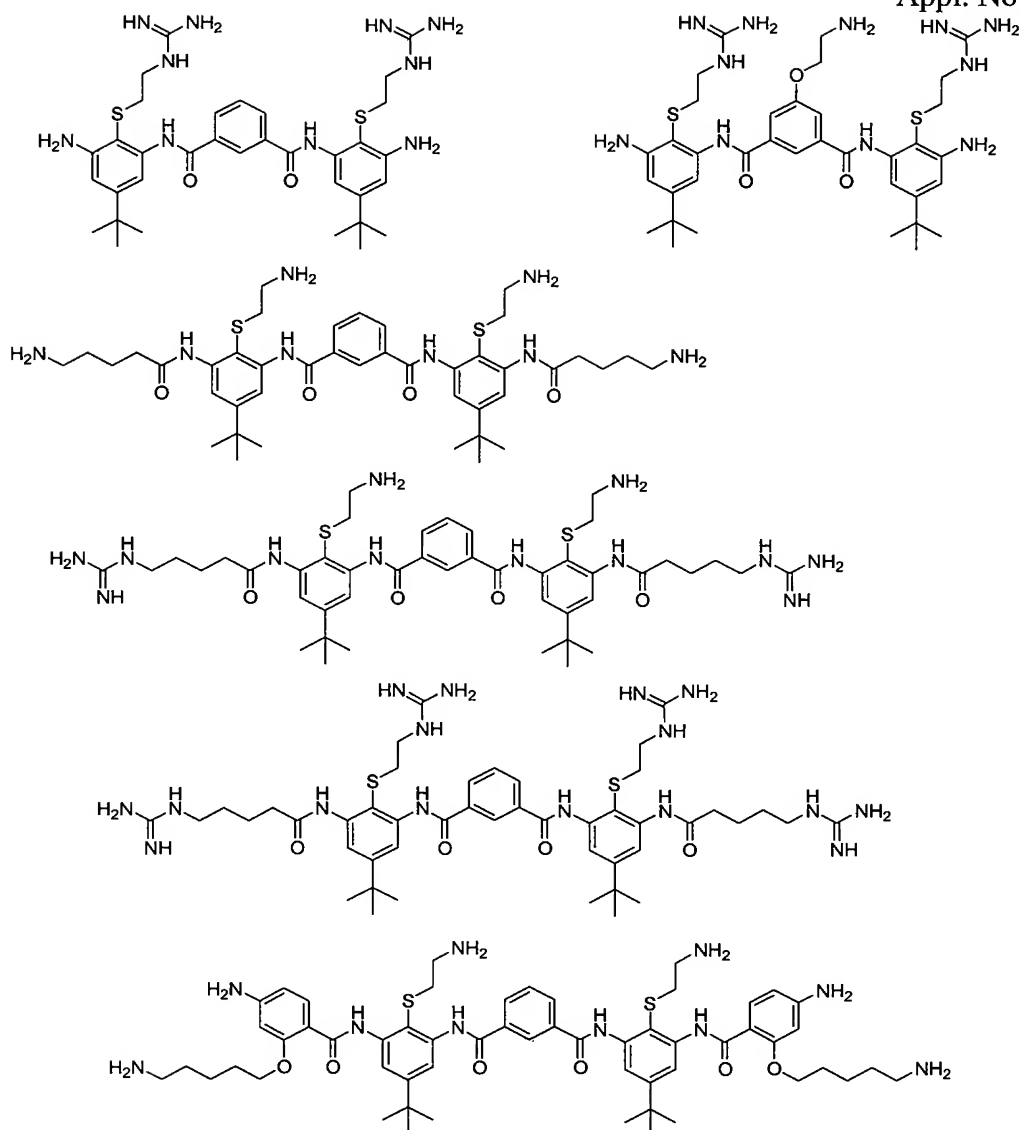
65. (withdrawn) A pharmaceutical composition comprising an oligomer of claim 63 and a pharmaceutically acceptable carrier or diluent.

66. (withdrawn) The method of claim 48, wherein the amphiphilic oligomer is selected from the group consisting of:

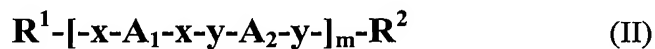








67. (previously presented) A method of treating a microbial infection in an animal in need thereof, said method comprising administering to the animal an effective amount of a pharmaceutical composition comprising an amphoteric oligomer of Formula II:



or an acceptable salt or solvate thereof, wherein:

x is NR^8 , y is C=O , and R^8 is hydrogen;

A₁ and A₂ are independently optionally substituted *m*-phenylene and *m*-pyrimidinylene,

wherein one of A₁ and A₂ is optionally substituted *m*-phenylene, and the other of

A₁ and A₂ is optionally substituted pyrimidinylene, and wherein

(i) one of A₁ and A₂ is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A₁ and A₂ is unsubstituted; or

(ii) one of A₁ and A₂ is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A₁ and A₂ is substituted with one or two polar (PL) groups;

R¹ is hydrogen or a polar group (PL), and R² is -x-A₁-x-R¹, wherein A₁ is as defined above and is optionally substituted with one or more polar (PL) groups, one or more non-polar (NPL) groups, or a combination of one or more polar (PL) groups and one or more non-polar (NPL) groups;

NPL is -(NR^{3'})_{q1NPL}-U^{NPL}-(CH₂)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}, wherein:

R³, R^{3'}, and R^{3''} are independently selected from the group consisting of hydrogen, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

R^{4'} is selected from the group consisting of hydrogen, C₁-C₁₀ alkyl, C₃-C₁₈ branched alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, C₃-C₈ cycloalkyl, C₆-C₁₀ aryl, and heteroaryl, any of which is optionally substituted with one or more C₁-C₆ alkyl or halo groups;

U^{NPL} is absent or selected from the group consisting of O, S, NH, -C(=O)-, -R³S- and -R³O-, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

the -(CH₂)_{pNPL}- alkylene chain is optionally substituted with one or more amino groups;

pNPL is 0 to 6;

q1NPL and q2NPL are 0;

PL is $-(NR^{5'})_{q1PL}-U^{PL}-(CH_2)_{pPL}-(NR^{5'})_{q2PL}-V$, wherein:

R^5 , $R^{5'}$, and $R^{5''}$ are independently selected from the group consisting of hydrogen, C_1 - C_6 alkyl, and C_1 - C_6 alkoxy;

U^{PL} is absent or selected from the group consisting of O, S, NH, $-C(=O)-$, $-R^5O-$, and $-R^5S-$, wherein groups with two chemically nonequivalent termini can adopt both possible orientations;

V is selected from the group consisting of amino, hydroxy, C_1 - C_6 alkylamino, $-NH(CH_2)_pNH_2$ wherein p is 1 to 4, $-N(CH_2CH_2NH_2)_2$, diazamino, amidino, and guanidino;

the $-(CH_2)_{pPL}-$ alkylene chain is optionally substituted with one or more amino groups;

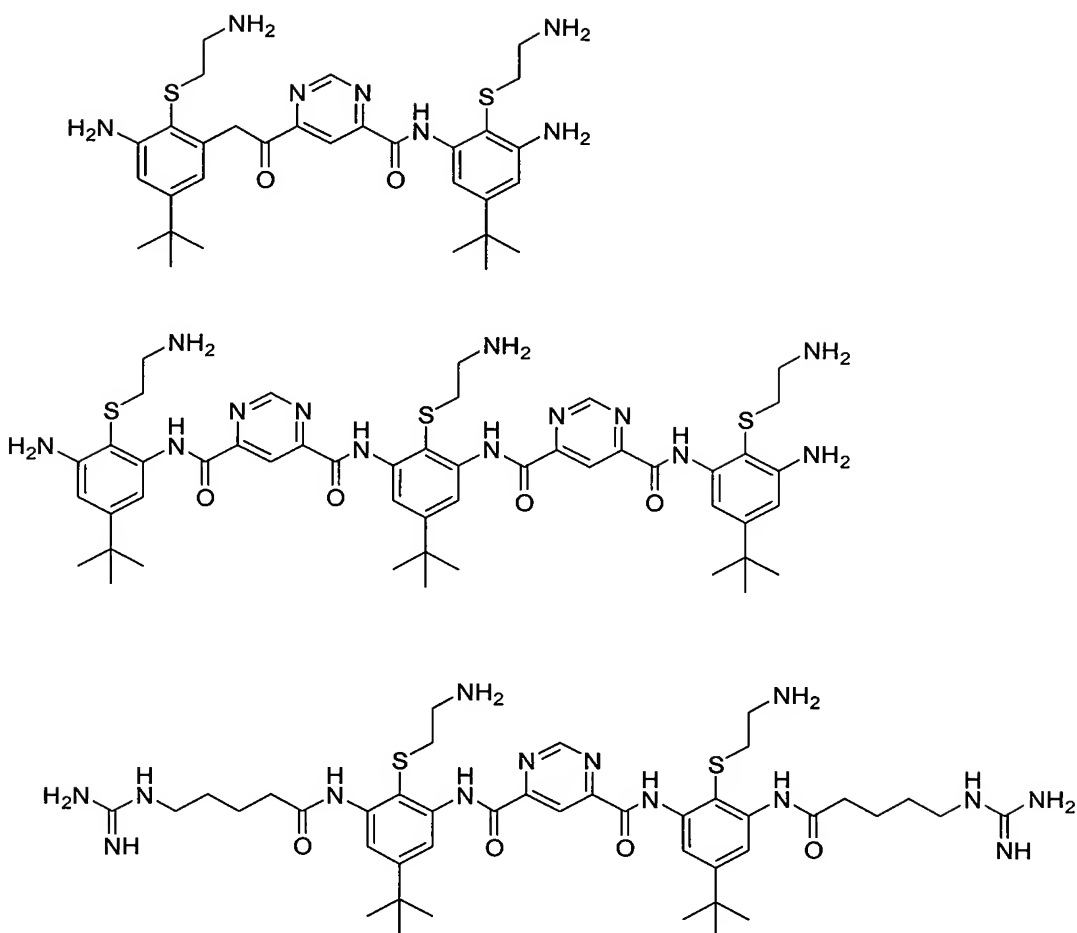
pPL is 0 to 6;

q1PL and q2PL are 0; and

m is 1, 2 or 3 ,

and a pharmaceutically acceptable carrier or diluent.

68. (previously presented) The method of claim 67, wherein the amphiphilic oligomer is selected from the group consisting of:



69. (previously presented) The method of claim 16, wherein the microbial infection is a bacterial infection, a fungal infection, or a viral infection.

70. (previously presented) The method of claim 16, wherein the heteroarylene is selected from the group consisting of pyridinylene, pyrimidinylene, and pyrazinylene.

71. (previously presented) The method of claim 16, wherein:

x is NR^8 , y is $\text{C}=\text{O}$, and R^8 is hydrogen;

one of A₁ and A₂ is optionally substituted *m*-phenylene, and the other of A₁ and A₂ is optionally substituted pyrimidinylene, wherein one of A₁ and A₂ is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A₁ and A₂ is unsubstituted;

R¹ is a polar group (PL), and R² is -x-A₁-x-R¹;

NPL is a nonpolar group -(NR^{3'})_{q1NPL}-U^{NPL}-(CH₂)_{pNPL}-(NR^{3''})_{q2NPL}-R^{4'}, wherein:

R^{4'} is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent;

pNPL is 0, 1 or 2;

q1NPL and q2NPL are independently 0;

PL is a polar group -(NR^{5'})_{q1PL}-U^{PL}-(CH₂)_{pPL}-(NR^{5''})_{q2PL}-V, wherein:

U^{PL} is selected from the group consisting of O, S, and -C(=O)-;

pPL is 0 to 4;

q1PL and q2PL are independently 0;

V is selected from the group consisting of nitro, cyano, amino, hydroxy, alkoxy, alkylthio, alkylamino, dialkylamino, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxy, -NH(CH₂)_pNH₂ wherein p is 1 to 4, -N(CH₂CH₂NH₂)₂, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkythio, lower acylamino, or benzyloxycarbonyl, and wherein the heterocycle is selected

from the group consisting of piperidinyl, piperazinyl, imidazolidinyl, pyrrolidinyl, pyrazolidinyl, and morpholinyl; and

m is 1, 2 or 3.

72. (previously presented) The method of claim 16, wherein:

x is NR^8 , y is $\text{C}=\text{O}$, and R^8 is hydrogen;

one of A_1 and A_2 is optionally substituted *m*-phenylene, and the other of A_1 and A_2 is optionally substituted pyrimidinylene, wherein one of A_1 and A_2 is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A_1 and A_2 is unsubstituted;

R^1 is a polar group (PL), and R^2 is $-\text{x}-\text{A}_1-\text{x}-\text{R}^1$;

NPL is a nonpolar group $-(\text{NR}^{3'})_{q1\text{NPL}}-\text{U}^{\text{NPL}}-(\text{CH}_2)_{p\text{NPL}}-(\text{NR}^{3''})_{q2\text{NPL}}-\text{R}^{4'}$, wherein:

$\text{R}^{4'}$ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent;

$p\text{NPL}$ is 0, 1 or 2;

$q1\text{NPL}$ and $q2\text{NPL}$ are independently 0;

PL is a polar group $-(\text{NR}^{5'})_{q1\text{PL}}-\text{U}^{\text{PL}}-(\text{CH}_2)_{p\text{PL}}-(\text{NR}^{5''})_{q2\text{PL}}-\text{V}$, wherein:

U^{PL} is selected from the group consisting of O, S, and $-\text{C}(=\text{O})-$;

$p\text{PL}$ is 0 to 4;

$q1\text{PL}$ and $q2\text{PL}$ are independently 0;

V is selected from the group consisting of amino and guanidino; and

m is 1, 2 or 3.

73. (previously presented) The method of claim 16, wherein:

x is NR^8 , y is $\text{C}=\text{O}$, and R^8 is hydrogen;

one of A_1 and A_2 is optionally substituted *o*-, *m*-, or *p*-phenylene, and the other of A_1 and A_2 is optionally substituted heteroarylene, wherein one of A_1 and A_2 is substituted with one polar (PL) group and one nonpolar (NPL) group and the other of A_1 and A_2 is unsubstituted, and wherein the heteroarylene is selected from the group consisting of pyridinylene, pyrimidinylene, or pyrazinylene;

R^1 is a polar group (PL), and R^2 is $-\text{x}-\text{A}_1-\text{x}-\text{R}^1$;

NPL is a nonpolar group $-(\text{NR}^{3'})_{q1\text{NPL}}-\text{U}^{\text{NPL}}-(\text{CH}_2)_{p\text{NPL}}-(\text{NR}^{3''})_{q2\text{NPL}}-\text{R}^{4'}$, wherein:

$\text{R}^{4'}$ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heteroaryl, any of which is optionally substituted with one or more alkyl or halo groups;

U^{NPL} is absent;

$p\text{NPL}$ is 0, 1 or 2;

$q1\text{NPL}$ and $q2\text{NPL}$ are independently 0;

PL is a polar group $-(\text{NR}^{5'})_{q1\text{PL}}-\text{U}^{\text{PL}}-(\text{CH}_2)_{p\text{PL}}-(\text{NR}^{5''})_{q2\text{PL}}-\text{V}$, wherein:

U^{PL} is selected from the group consisting of O, S, and $-\text{C}(=\text{O})-$;

$p\text{PL}$ is 0 to 4;

$q1\text{PL}$ and $q2\text{PL}$ are independently 0;

V is selected from the group consisting of amino and guanidino; and

m is 1, 2 or 3.